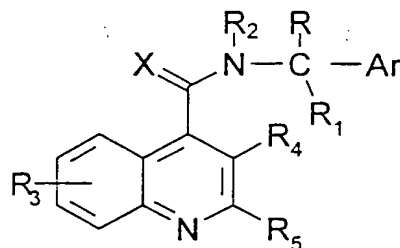


# Claims

1. A compound, or solvate or salt thereof, of formula (I):



5

(I)

in which:

Ar is an optionally substituted phenyl, naphthyl or C<sub>5-7</sub> cycloalkdienyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

10

R is linear or branched C<sub>1-8</sub> alkyl, C<sub>3-7</sub> cycloalkyl, C<sub>4-7</sub> cycloalkylalkyl, optionally substituted phenyl or phenyl C<sub>1-6</sub> alkyl, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C<sub>1-6</sub> alkyl, amino C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylaminoalkyl, di C<sub>1-6</sub> alkylaminoalkyl, C<sub>1-6</sub> acylaminoalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>1-6</sub> alkylcarbonyl, carboxy, C<sub>1-6</sub> alkoxyxcarbonyl, C<sub>1-6</sub> alkoxycarbonyl C<sub>1-6</sub> alkyl, aminocarbonyl, C<sub>1-6</sub> alkylaminocarbonyl, di C<sub>1-6</sub> alkylaminocarbonyl, halogeno C<sub>1-6</sub> alkyl; or is a group -(CH<sub>2</sub>)<sub>p</sub>- when cyclized onto Ar, where p is 2 or 3.

15

R<sub>1</sub> and R<sub>2</sub>, which may be the same or different, are independently hydrogen or C<sub>1-6</sub> linear or branched alkyl, or together form a -(CH<sub>2</sub>)<sub>n</sub>- group in which n represents 3, 4, or 5; or R<sub>1</sub> together with R forms a group -(CH<sub>2</sub>)<sub>q</sub>-, in which q is 2, 3, 4 or 5.

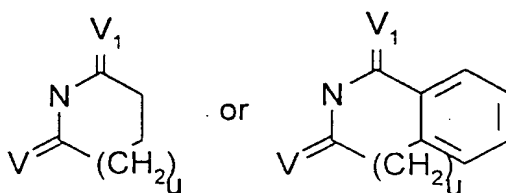
20

R<sub>3</sub> and R<sub>4</sub>, which may be the same or different are independently hydrogen, C<sub>1-6</sub> linear or branched alkyl, C<sub>1-6</sub> alkenyl, aryl, C<sub>1-6</sub> alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C<sub>1-6</sub> alkoxycarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C<sub>1-6</sub> alkylamino,

25

-O(CH<sub>2</sub>)<sub>r</sub>-NT<sub>2</sub>, in which r is 2, 3, or 4 and T is hydrogen or C<sub>1-6</sub> alkyl or it forms with the adjacent nitrogen a group

30



in which V and V<sub>1</sub> are independently hydrogen or oxygen and u is 0,1 or 2;  
 -O(CH<sub>2</sub>)<sub>s</sub>-OW<sub>2</sub> in which s is 2, 3, or 4 and W is hydrogen or C<sub>1-6</sub> alkyl;  
 hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino,  
 alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino;  
 with up to four R<sub>3</sub> substituents being present in the quinoline nucleus;  
 or R<sub>4</sub> is a group -(CH<sub>2</sub>)<sub>t</sub>- when cyclized onto R<sub>5</sub> as aryl, in which t is 1, 2,  
 or 3;

R<sub>5</sub> is branched or linear C<sub>1-6</sub> alkyl, C<sub>3-7</sub> cycloalkyl, C<sub>4-7</sub> cycloalkylalkyl,  
 optionally substituted aryl, or an optionally substituted single or fused ring  
 heterocyclic group, having aromatic character, containing from 5 to 12 ring  
 atoms and comprising up to four hetero-atoms in the or each ring selected  
 from S, O, N;

X is O, S, or N-C≡N.

2. A compound according to claim 1 in which:

Ar is phenyl, optionally substituted by C<sub>1-6</sub> alkyl or halogen; thienyl or a C<sub>5-7</sub>  
 cycloalkdienyl group;

3. A compound according to claim 1 in which:

R is C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylcarbonyl or hydroxy C<sub>1-6</sub>  
 alkyl.

4. A compound according to claim 1 in which:

R<sub>1</sub> and R<sub>2</sub> are each hydrogen or C<sub>1-6</sub> alkyl.

5. A compound according to claim 1 in which:

R<sub>3</sub> is hydrogen, hydroxy, halogen, C<sub>1-6</sub> alkoxy or C<sub>1-6</sub> alkyl.

6. A compound according to claim 1 in which:

R<sub>4</sub> is hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, hydroxy, amino, halogen,  
 aminoalkoxy, mono- or di-alkylaminoalkoxy, mono- or di-alkylaminoalkyl,  
 phthaloylalkoxy, mono- or di-alkylaminoacylamino or acylamino;

7. A compound according to claim 1 in which:

R<sub>5</sub> is phenyl, thienyl, furyl, pyrrolyl or thiazolyl.

8. A compound of formula (I) according to claim 1, or a salt or solvate thereof, in which:

Ar is phenyl, 2-chlorophenyl, 2-thienyl or cyclohexadienyl;

R is methyl, ethyl, n-propyl, -COOMe, or -COMe;

R<sub>1</sub> and R<sub>2</sub> are each hydrogen or methyl;

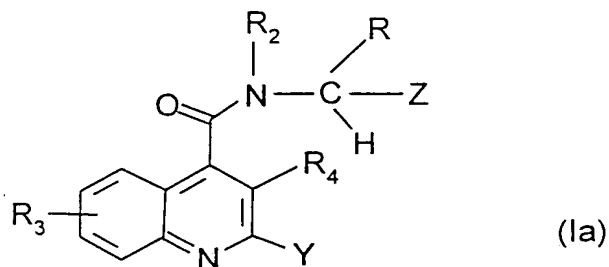
R<sub>3</sub> is hydrogen, methoxy, or hydroxy;

R<sub>4</sub> is hydrogen, methyl, ethyl, methoxy, hydroxy, amino, chlorine, bromine, dimethylaminoethoxy, 2-(1-phthaloyl)ethoxy, aminoethoxy, 2-(1-pyrrolidinyl)ethoxy, dimethylaminopropoxy, dimethylaminoacetyl amino, acetyl amino, or dimethylaminomethyl;

R<sub>5</sub> is phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-thiazolyl or 3-thienyl;

and X is oxygen.

9. A compound according to claim 1, or a salt or solvate thereof, of formula (Ia)



in which

R, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for formula (I), in claim 1 and Y and Z, which may be the same or different, are each Ar as defined for formula (I) in claim 1.

10. A compound according to claim 9, of formula (Ib):

Ar above; examples of R<sub>5</sub> as a heterocyclic group are furyl, thienyl, pyrrol, thiazolyl, benzofuryl and pyridyl.

A preferred group of compounds of formula (I) are those in which:

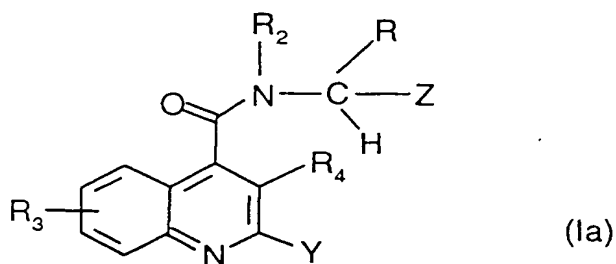
- 5 Ar is phenyl, optionally substituted by C<sub>1-6</sub> alkyl or halogen; thienyl or a C<sub>5-7</sub> cycloalkdienyl group;  
R is C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, carbonyl, C<sub>1-6</sub> alkylcarbonyl, hydroxy C<sub>1-6</sub> alkyl;  
R<sub>1</sub> and R<sub>2</sub> are each hydrogen or C<sub>1-6</sub> alkyl;  
10 R<sub>3</sub> is hydrogen, hydroxy, halogen, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl;  
R<sub>4</sub> is hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, hydroxy, amino, halogen, aminoalkoxy, mono- or di-alkylaminoalkoxy, mono- or di-alkylaminoalkyl, phthaloylalkoxy, mono- or di-alkylaminoacylamino and acylamino;  
R<sub>5</sub> is phenyl, thienyl, furyl, pyrrol and thiazolyl.

15 A further preferred group of compounds of formula (I) are those in which:

- Ar is phenyl, 2-chlorophenyl, 2-thienyl or cyclohexadienyl;  
R is methyl, ethyl, n-propyl, -COOMe, -COMe;  
R<sub>1</sub> and R<sub>2</sub> are each hydrogen or methyl;  
20 R<sub>3</sub> is hydrogen, methoxy, or hydroxy;  
R<sub>4</sub> is hydrogen, methyl, ethyl, methoxy, hydroxy, amino, chlorine, bromine, dimethylaminoethoxy, 2-(1-phthaloyl)ethoxy, aminoethoxy, 2-(1-pyrrolidinyl)ethoxy, dimethylaminopropoxy, dimethylaminoacetyl amino, acetyl amino, and dimethylaminomethyl.  
25 R<sub>5</sub> is phenyl, 2-thienyl, 2-furyl, 2-pyrrol, 2-thiazolyl and 3-thienyl; and X is oxygen.

A preferred sub-group of compounds within the scope of formula (I) above is of formula (Ia):

30



in which:

R, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined in formula (I), and Y and Z, which may be the same or different, are each Ar as defined in formula (I).

- carboxamide;  
(-)-(R)-N-[ $\alpha$ -(methoxycarbonyl)-1,4-cyclohexadienylmethyl]-2-phenylquinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(1-hydroxyethyl)benzyl]-2-phenylquinoline-4-carboxamide  
5 single diast;  
(R,S)-N-( $\alpha$ -ethylbenzyl)-3-methoxy-2-phenylquinoline-4-carboxamide;  
(R,S)-N-( $\alpha$ -ethylbenzyl)-3-n-butyl-2-phenylquinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]benzo-1,3-cycloheptadieno[1,2-b]quinoline-8-carboxamide;  
10 (R,S)-N-( $\alpha$ -ethylbenzyl)-3-hexyl-2-phenylquinoline-4-carboxamide;  
(-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;  
(+)-(R)-N-( $\alpha$ -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(2-methoxyphenyl)quinoline-4-carboxamide;  
15 (R,S)-N-( $\alpha$ -ethylbenzyl)-3-phenyl-2-phenylquinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(2-fluorophenyl)quinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(ethyl)-3,4-dichlorobenzyl]-2-phenylquinoline-4-carboxamide;  
20 (R,S)-N-[ $\alpha$ -(hydroxymethyl)benzyl]-2-phenylquinoline-4-carboxamide;  
(R,S)-N-( $\alpha$ -ethylbenzyl)-2-phenylquinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;  
(R,S)-N-( $\alpha$ -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;  
25 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-7-chloro-2-phenylquinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-6-methyl-2-phenylquinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(methoxymethyl)benzyl]-2-phenylquinoline-4-carboxamide;  
30 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-6-chloro-2-phenylquinoline-4-carboxamide;  
(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-3-ethyl-2-phenylquinoline-4-carboxamide;  
(R,S)-N-( $\alpha$ -n-propylbenzyl)-2-phenylquinoline-4-carboxamide;  
35 (R,S)-N-( $\alpha$ -ethylbenzyl)-3-ethyl-2-phenylquinoline-4-carboxamide;  
(R,S)-N-( $\alpha$ -ethylbenzyl)-3-phthalimido-2-phenylquinoline-4-carboxamide;

(R,S)-N-( $\alpha$ -ethylbenzyl)-3-n-propyl-2-phenylquinoline-4-carboxamide;  
(-)-(S)-N-( $\alpha$ -ethylbenzyl)-6-bromo-3-methyl-2-(4-bromophenyl)quinoline-4-carboxamide;

5 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-6-bromo-3-methyl-2-phenylquinoline-4-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-6-methoxy-2-phenylquinoline-4-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(2-benzofuryl)quinoline-4-carboxamide;

10 (R,S)-N-[(1,2-diphenyl)ethyl]-2-phenylquinoline-4-carboxamide;

(R,S)-N-( $\alpha$ -trifluoromethylbenzyl)-2-phenylquinoline-4-carboxamide;

(-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-methoxy-2-phenylquinoline-4-carboxamide;

(-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-ethyl-2-phenylquinoline-4-carboxamide;

15 (R,S)-N-[ $\alpha$ -(ethyl)-4-chlorobenzyl]-2-phenylquinoline-4-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(3-thienyl)quinoline-4-carboxamide;

20 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-5,6-dihydrobenzo[a]acridine-7-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(2-pyrrolyl)quinoline-4-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(2-thiazolyl)quinoline-4-carboxamide;

25 (R,S)-N-(1-indanyl)-2-phenylquinoline-4-carboxamide;

(R,S)-N-( $\alpha$ -n-butylbenzyl)-2-phenylquinoline-4-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(4-methylphenyl)quinoline-4-carboxamide;

(R,S)-N-( $\alpha$ -heptylbenzyl)-2-phenylquinoline-4-carboxamide;

30 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(2-methylphenyl)quinoline-4-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(4-methoxyphenyl)quinoline-4-carboxamide;

N-(1-phenylcyclopentyl)-2-phenylquinoline-4-carboxamide;

35 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(4-hydroxyphenyl)quinoline-4-carboxamide;

(R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(3,4-

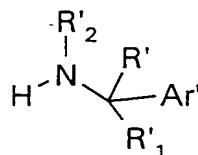
- methylenedioxyphenyl)quinoline-4-carboxamide;  
 N-( $\alpha,\alpha$ -dimethylbenzyl)-2-phenylquinoline-4-carboxamide;  
 (R,S)-N-[ $\alpha$ -(ethyl)-4-methylbenzyl]-2-phenylquinoline-4-carboxamide;  
 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(3-pyrryl)quinoline-4-  
 5 carboxamide;  
 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(3,4-dichlorophenyl)quinoline-  
 4-carboxamide;  
 (-)-(R)-N-[ $\alpha$ -(aminomethyl)benzyl]-2-phenylquinoline-4-carboxamide;  
 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-amino-2-phenylquinoline-4-carboxamide;  
 10 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-chloro-2-phenylquinoline-4-carboxamide;  
 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-bromo-2-phenylquinoline-4-carboxamide;  
 (R,S)-N-( $\alpha$ -*iso*-propylbenzyl)-2-phenylquinoline-4-carboxamide;  
 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-2-phenylquinoline-4-carboxamide;  
 (+)-(R)-N-( $\alpha$ -ethylbenzyl)-2-phenylquinoline-4-carboxamide;  
 15 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-6-fluoro-2-phenylquinoline-4-  
 carboxamide;  
 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-cyclohexylquinoline-4-  
 carboxamide;  
 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(3-chlorophenyl)quinoline-4-  
 20 carboxamide;  
 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(2-chlorophenyl)quinoline-4-  
 carboxamide;  
 (R,S)-N-( $\alpha$ -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;  
 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-8-acetyloxy-2-phenylquinoline-  
 25 4-carboxamide;  
 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-8-hydroxy-2-phenylquinoline-4-  
 carboxamide;  
 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(2,4-dichlorophenyl)quinoline-  
 4-carboxamide;  
 30 (-)-(R)-N-[ $\alpha$ -(methoxycarbonyl)-4-hydroxybenzyl]-2-phenylquinoline-4-  
 carboxamide hydrochloride;  
 N-diphenylmethyl-2-phenylquinoline-4-carboxamide;  
 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;  
 (+)-(R)-N-( $\alpha$ -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-  
 35 carboxamide;  
 (-)-(R)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-3-hydroxy-2-phenylquinoline-4-  
 carboxamide;

- (-)-(R)-N-[ $\alpha$ -(dimethylaminomethyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[ $\alpha$ -(dimethylaminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
- 5 (R,S)-N-[ $\alpha$ -(aminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[ $\alpha$ -(1-pyrrolidinylcarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (-)-(R)-N-[ $\alpha$ -(carboxy)benzyl]-2-phenylquinoline-4-carboxamide hydrochloride;
- 10 (R,S)-N-[ $\alpha$ -(methoxycarbonyl)benzyl]-2-(4-chlorophenyl)quinoline-4-carboxamide;
- (R)-N-[ $\alpha$ -(methoxycarbonyl)-4-methoxybenzyl]-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[ $\alpha$ -(methoxycarbonyl)- $\alpha$ -(methyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide hydrochloride;
- 15 (R,S)-N-[ $\alpha$ -(methylcarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[ $\alpha$ -(2-hydroxyethyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-(2-dimethylaminoethoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
- 20 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-acetylamino-2-phenylquinoline-4-carboxamide;
- (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-(3-dimethylaminopropoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
- (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-[2-(1-phthaloyl)ethoxy]-2-phenylquinoline-4-carboxamide hydrochloride;
- 25 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-(2-aminoethoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
- (+)-(S)-N-( $\alpha$ -ethylbenzyl)-3-[2-(1-pyrrolidinyl)ethoxy]-2-phenylquinoline-4-carboxamide hydrochloride;
- 30 (-)-(S)-N-( $\alpha$ -ethylbenzyl)-3-(dimethylaminoacetylamino)-2-phenylquinoline-4-carboxamide;
- N-( $\alpha,\alpha$ -dimethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
- N-( $\alpha,\alpha$ -dimethylbenzyl)-3-amino-2-phenylquinoline-4-carboxamide;
- (-)-(S)-N-( $\alpha$ -ethylbenzyl)-5-methyl-2-phenylquinoline-4-carboxamide;
- 35 (R,S)-N-[ $\alpha$ -(1-hydroxyethyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[ $\alpha$ -(methylcarbonyl)benzyl]-3-methyl-2-phenylquinoline-4-



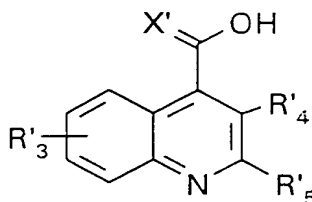
carboxamide;  
 (R,S)-N-[ $\alpha$ -(ethyl)-4-pyridylmethyl]-2-phenylquinoline-4-carboxamide;  
 (R,S)-N-[ $\alpha$ -(ethyl)-2-thienylmethyl]-2-phenylquinoline-4-carboxamide;  
 (+)-(S)-N-( $\alpha$ -ethylbenzyl)-3-dimethylaminomethyl-2-phenylquinoline-  
 5 4-carboxamide hydrochloride;  
 (S)-N-( $\alpha$ -ethylbenzyl)-3-methyl-7-methoxy-2-phenylquinoline-4-  
 carboxamide;  
 (S)-N-( $\alpha$ -ethylbenzyl)-3-amino-5-methyl-2-phenylquinoline-4-  
 carboxamide;  
 10 (S)-N-( $\alpha$ -ethylbenzyl)-3-methoxy-5-methyl-2-phenylquinoline-4-  
 carboxamide;

11 ~~12.~~ A process for preparing a compound of formula (I) as defined in  
 claim 1, or a solvate or salt thereof which comprises reacting a compound of  
 15 formula (III)



(III)

20 in which  $R'$ ,  $R'_1$ ,  $R'_2$  and  $Ar'$  are  $R$ ,  $R_1$ ,  $R_2$  and  $Ar$  as defined for  
 formula (I) or a group or atom convertible to  $R$ ,  $R_1$ ,  $R_2$  and  $Ar$ , with a  
 compound of formula (II)



(II)

25 or an active derivative thereof, in which  $R'_3$ ,  $R'_4$ ,  $R'_5$  and  $X'$  are  $R_3$ ,  
 $R_4$ ,  $R_5$  and  $X$  as defined for formula (I) or a group convertible to  $R_3$ ,  $R_4$ ,  $R_5$   
 and  $X$ , to form a compound of formula (Ic)